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Docket No.: 5000-0128PUS1  
(PATENT)

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

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In re Patent Application of:  
Bernd MULLER et al.

Application No.: NEW

Confirmation No.: N/A

Filed: July 1, 2005

Art Unit: N/A

For: PYRIMIDINES, METHODS FOR THE  
PRODUCTION THEREOF, AND USE  
THEREOF

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Examiner: Not Yet Assigned

**LETTER**

Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

Sir:

The PTO is requested to use the amended sheets/claims attached hereto (which correspond to Article 19 amendments or to claims attached to the International Preliminary Examination Report (Article 34)) during prosecution of the above-identified national phase PCT application.

If necessary, the Commissioner is hereby authorized in this, concurrent, and future replies to charge payment or credit any overpayment to Deposit Account No. 02-2448 for any additional fees required under 37.C.F.R. §1.16 or 1.14; particularly, extension of time fees.

10/541386

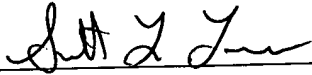
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Application No.:

Dated: July 1, 2005

Respectfully submitted,

By 

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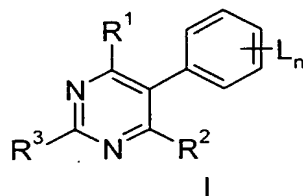
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Attachment(s)

We claim:

1. A pyrimidine of the formula I



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in which the index and the substituents are as defined below:

n is an integer from 1 to 5;

- 10 L is halogen, cyano, nitro, cyanato (OCN), C<sub>1</sub>-C<sub>8</sub>-alkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>2</sub>-C<sub>10</sub>-alkenyloxy, C<sub>2</sub>-C<sub>10</sub>-alkynyloxy, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkenyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkoxy, C<sub>3</sub>-C<sub>6</sub>-cycloalkenyloxy, -C(=S)-N(A')A, -C(=O)-A, -C(=O)-O-A, -C(=O)-N(A')A, C(A')(=N-OA), N(A')A, N(A')-C(=O)-A, N(A'')-C(=O)-N(A')A, S(=O)<sub>m</sub>-A, S(=O)<sub>m</sub>-O-A or
- 15 S(=O)<sub>m</sub>-N(A')A;

m is 0, 1 or 2;

- 20 A, A', A'' independently of one another are hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkenyl, where the organic radicals may be partially or fully halogenated or may be substituted by cyano or C<sub>1</sub>-C<sub>4</sub>-alkoxy, or A and A' together with the atoms to which they are attached are a five- or
- 25 six-membered saturated, partially unsaturated or aromatic heterocycle which contains one to four heteroatoms from the group consisting of O, N and S;

R<sup>1</sup> is C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>12</sub>-cycloalkyl, C<sub>3</sub>-C<sub>10</sub>-cycloalkenyl;

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R<sup>2</sup> is halogen, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>2</sub>-C<sub>4</sub>-alkenyl, C<sub>2</sub>-C<sub>4</sub>-alkynyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>3</sub>-C<sub>4</sub>-alkenyloxy or C<sub>3</sub>-C<sub>4</sub>-alkynyloxy;

AMENDED SHEET

$R^3$  is a five- or six-membered saturated, partially unsaturated or aromatic mono- or bicyclic heterocycle which contains one to four heteroatoms from the group consisting of O, N and S,

5 where the aliphatic, alicyclic or aromatic groups of the radical definitions of L,  $R^1$ ,  $R^2$  and/or  $R^3$  for their part may be partially or fully halogenated or may carry one to four groups  $R^a$ :

10  $R^a$  is halogen, cyano,  $C_1$ - $C_8$ -alkyl,  $C_2$ - $C_{10}$ -alkenyl,  $C_2$ - $C_{10}$ -alkynyl,  $C_1$ - $C_6$ -alkoxy,  $C_2$ - $C_{10}$ -alkenyloxy,  $C_2$ - $C_{10}$ -alkynyloxy, OH, SH, two vicinal groups  $R^a$  may be (=O) or (=S),  $C_3$ - $C_6$ -cycloalkyl,  $C_3$ - $C_6$ -cycloalkenyl,  $C_3$ - $C_6$ -cycloalkoxy,  $C_3$ - $C_6$ -cycloalkenyloxy, -C(=O)-A, -C(=O)-O-A, -C(=O)-N(A')A, C(A')(=N-OA), N(A')A, N(A')-C(=O)-A, N(A'')-C(=O)-N(A')A, S(=O)<sub>m</sub>-A, S(=O)<sub>m</sub>-O-A or S(=O)<sub>m</sub>-N(A')A, where m, A, A', A'' are as defined above and where the aliphatic, alicyclic or aromatic groups for their part may be partially or fully halogenated or may carry one to three groups  $R^b$ , where  $R^b$  has the same meaning as  $R^a$ .

20 2. A pyrimidine as claimed in claim 1, in which the index and the substituents are as defined below:

L is halogen, cyano,  $C_1$ - $C_8$ -alkyl,  $C_2$ - $C_{10}$ -alkenyl,  $C_2$ - $C_{10}$ -alkynyl,  $C_1$ - $C_6$ -alkoxy,  $C_2$ - $C_{10}$ -alkenyloxy,  $C_2$ - $C_{10}$ -alkynyloxy, -C(=O)-O-A, N(A')-C(=O)-A or S(=O)<sub>m</sub>-A;

25 m is 0, 1 or 2;

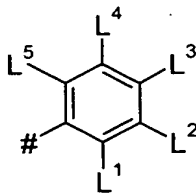
30 A, A', A'' independently of one another are hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -alkynyl,  $C_3$ - $C_8$ -cycloalkyl, where the organic radicals may be partially or fully halogenated or A and A' together with the atoms to which they are attached are a partially unsaturated or aromatic heterocycle which contains one to four heteroatoms from the group consisting of O, N and S;

35  $R^1$  is  $C_1$ - $C_{10}$ -alkyl,  $C_2$ - $C_{10}$ -alkenyl,  $C_2$ - $C_{10}$ -alkynyl,  $C_3$ - $C_{12}$ -cycloalkyl,  $C_3$ - $C_{10}$ -cycloalkenyl;

$R^2$  is  $C_1$ - $C_4$ -alkyl, cyano or chlorine,

where the aliphatic, alicyclic or aromatic groups of the radical definitions of L, R<sup>1</sup> and/or R<sup>3</sup> for their part may be partially or fully halogenated or may carry one to four groups R<sup>a</sup>:

- 5 R<sup>a</sup> is halogen, cyano, C<sub>1</sub>-C<sub>8</sub>-alkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>2</sub>-C<sub>10</sub>-alkenyloxy, C<sub>2</sub>-C<sub>10</sub>-alkynyloxy, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkenyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkoxy, C<sub>3</sub>-C<sub>6</sub>-cycloalkenyloxy, -C(=O)-A, -C(=O)-O-A, -C(=O)-N(A')A, C(A')(=N-OA), N(A')A, N(A')-C(=O)-A, N(A'')-C(=O)-N(A')A, S(=O)<sub>m</sub>-A, S(=O)<sub>m</sub>-O-A or S(=O)<sub>m</sub>-N(A')A.
- 10 3. A pyrimidine as claimed in claim 1, in which R<sup>3</sup> is pyrrolyl, pyrazolyl, imidazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, tetrazolyl, oxazolyl, isoxazolyl, 1,3,4-oxadiazolyl, furanyl, thiophenyl, thiazolyl, isothiazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, 1,2,3-triazinyl, 1,2,4-triazinyl, pyrrolidinyl, piperidinyl, hexahydro-
- 15 azepinyl or dihydropyridinyl, where the heterocycle may be attached to the pyrimidine ring via carbon or nitrogen and may carry up to three substituents R<sup>a</sup>:
- R<sup>a</sup> is halogen, cyano, C<sub>1</sub>-C<sub>8</sub>-alkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>2</sub>-C<sub>10</sub>-alkenyloxy, C<sub>2</sub>-C<sub>10</sub>-alkynyloxy, OH, SH, two vicinal groups R<sup>a</sup> may
- 20 be (=O) or (=S), C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkenyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkoxy, C<sub>3</sub>-C<sub>6</sub>-cycloalkenyloxy, -C(=O)-A, -C(=O)-O-A, -C(=O)-N(A')A, C(A')(=N-OA), N(A')A, N(A')-C(=O)-A, N(A'')-C(=O)-N(A')A, S(=O)<sub>m</sub>-A, S(=O)<sub>m</sub>-O-A or S(=O)<sub>m</sub>-N(A')A.
- 25 4. A pyrimidine as claimed in claim 1, in which R<sup>3</sup> is pyrazol-1-yl, [1,2,4]-triazol-1-yl, pyridin-2-yl, pyrimidin-2-yl, pyridazin-3-yl, pyrrolidin-2-on-1-yl, piperidin-2-on-1-yl, hexahydro-2H-azepin-2-on-1-yl, pyrrolidin-2-thion-1-yl, piperidin-2-thion-1-yl, hexahydro-2H-azepin-2-thion-1-yl, 1,2-dihydropyridin-2-on-1-yl.
- 30 5. A pyrimidine as claimed in claim 1, in which R<sup>2</sup> is methyl, chlorine or ethyl.
6. A pyrimidine as claimed in any of claims 1 to 6, in which the phenyl group substituted by L<sub>n</sub> is the group B



B

where # is the point of attachment to the pyrimidine skeleton and

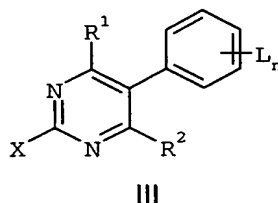
$L^1$  is fluorine, chlorine,  $CH_3$  or  $CF_3$ ;

$L^2, L^4$  independently of one another are hydrogen,  $CH_3$  or fluorine;

$L^3$  is hydrogen, fluorine, chlorine, bromine, cyano,  $CH_3$ ,  $SCH_3$ ,  $OCH_3$ ,  $SO_2CH_3$ ,  
 5  $CO-NH_2$ ,  $CO-NHCH_3$ ,  $CO-NHC_2H_5$ ,  $CO-N(CH_3)_2$ ,  $NH-C(=O)CH_3$ ,  
 $N(CH_3)-C(=O)CH_3$  or  $COOCH_3$  and

$L^5$  is hydrogen, fluorine, chlorine or  $CH_3$ .

7. A process for preparing pyrimidines of the formula I as claimed in claim 1, where  
 10  $R^3$  is a nitrogen-containing heterocycle attached via nitrogen, which comprises  
 reacting a compound of the formula III,

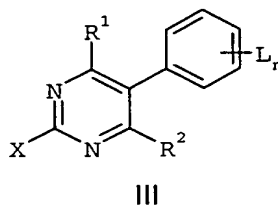


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in which the substituents  $L_n$ ,  $R^1$  and  $R^2$  are as defined in claim 1 and X is  
 halogen,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_6$ -alkylthio,  $C_1$ - $C_6$ -alkylsulfoxyl or  $C_1$ - $C_6$ -alkylsulfenyl,  
 with a heterocycle of the formula  $R^3-H$  (IV), if appropriate in the presence of a  
 base.

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8. An intermediate of the formula III



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in which the substituent  $R^1$  is as defined in claim 1,  $L_n$  is as defined in claim 2, X  
 is as defined in claim 7 and  $R^2$  is cyano,  $C_1$ - $C_4$ -alkyl,  $C_2$ - $C_4$ -alkenyl,  $C_2$ - $C_4$ -alkynyl,  
 $C_1$ - $C_4$ -alkoxy,  $C_3$ - $C_4$ -alkenyloxy or  $C_3$ - $C_4$ -alkynyloxy, where the alkyl, alkenyl and  
 alkynyl radicals of  $R^2$  may be substituted by halogen, cyano, nitro,  $C_1$ - $C_2$ -alkoxy  
 30 or  $C_1$ - $C_4$ -alkoxycarbonyl.

9. A pesticidal composition, which comprises a solid or liquid carrier and a compound of the formula I as claimed in claim 1.
- 5 10. A method for controlling phytopathogenic harmful fungi, which comprises treating the fungi or the materials, plants, the soil or seeds to be protected against fungal attack with an effective amount of a compound of the formula I as claimed in claim 1.